(19) World Intellectual Property Organization International Bureau





(43) International Publication Date 16 June 2005 (16.06.2005)

PCT

(10) International Publication Number WO 2005/054189 A1

- (51) International Patent Classification⁷: C07D 207/267, A61K 31/165, C07C 233/36, A61K 31/4015
- (21) International Application Number:

PCT/EP2004/012834

(22) International Filing Date:

12 November 2004 (12.11.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

03027044.1

24 November 2003 (24.11.2003) EI

- (71) Applicant (for all designated States except US): NEWRON PHARMACEUTICALS S.P.A. [IT/IT]; Via L. Ariosto, 21, I-20091 Bresso (IT).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): THALER, Florian [IT/IT]; Via L. Ariosto, 21, 1-20091 Bresso (IT). SABIDO DAVID, Cibele, Maria [IT/IT]; Via L. Ariosto, 21, I-20091 Bresso (IT). FARAVELLI, Laura [IT/IT]; Via L. Ariosto, 21, I-20091 Bresso (IT). GAGLIARDI, Stefania [IT/IT]; Via L. Ariosto, 21, I-20091 Bresso (IT). COLOMBO, Elena [IT/IT]; Via L. Ariosto, 21, I-20091 Bresso (IT). SALVATI, Patricia [IT/IT]; Via L. Ariosto, 21, I-20091 Bresso (IT).

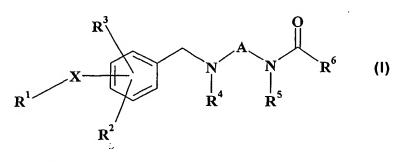
- (74) Agents: MINOJA, Fabrizio et al.; Bianchetti Bracco Minoja S.r.l., Via Plinio, 63, I-20129 Milano (IT).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW. GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: N-ACYL-N'-BENZYL-ALKYLENDIAMINO DERIVATIVES



(57) Abstract: This invention is related to compounds and use of N-Acyl-N'-benzyl-alkylendiamino derivatives of the following general formula (I), wherein A is a straight or branched C_2 - C_8 alkyl chain; X is a methylene, oxygen, sulphur or a NR' group; R'is a straight or branched C_1 - C_8 alkyl or C_3 - C_8 alkenylene or C_3 - C_8 alkynylene chain, optionally substituted with CF₃, phenyl, phenoxy or naphthyl, the aromatic rings optionally substituted by one or more C_1 - C_4 alkyl, halogens, trifluoromethyl, hydroxy or

 C_1 - C_4 alkoxy groups; R^2 , R^3 are independently hydrogen, a C_1 - C_3 alkyl chain, halogen, trifluoromethyl, hydroxy or C_1 - C_4 alkoxy groups; R^4 , R^5 are independently hydrogen or C_1 - C_6 alkyl; R^6 is a hydrogen or a straight or branched C_1 - C_8 alkyl or linked to R^5 can form a five to seven membered lactam; R^7 is hydrogen or C_1 - C_6 alkyl; and the pharmaceutically acceptable salts thereof that are active as sodium and/or calcium channel modulators and therefore useful in preventing, alleviating and curing a wide range of pathologies, including, but not limited to, neurological, psychiatric, cardiovascular, inflammatory, ophthalmic, urologic, metabolic and gastrointestinal diseases, where the above mechanisms have been described as playing a pathological role.